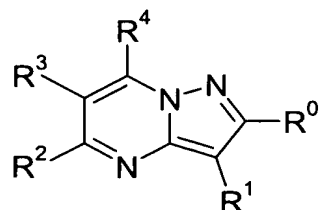


CLAIMS

1. A compound of Formula (I)



(I)

- 5 wherein

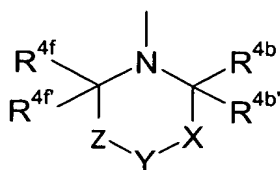
R^0 is an optionally substituted aryl or an optionally substituted heteroaryl;

R^1 is an optionally substituted aryl or an optionally substituted heteroaryl;

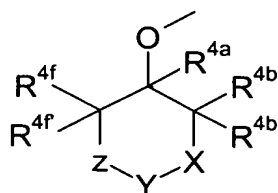
- 10 R^2 and R^3 are each independently hydrogen, halo, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

R^4 is

- (i) a group having Formula (IA) or Formula (IB)



IA



IB

15

where R^{4a} is hydrogen or (C₁-C₃)alkyl;

- 20 R^{4b} and $R^{4b'}$ are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle,

and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

5 X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N}-\text{C}(\text{O})-$, (C_1-C_6) alkylamino-, di(C_1-
10 C_4)alkylamino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl(C_1-C_4)alkylamino-, heteroaryl(C_1-C_4)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

15 or either R^{4c} or $\text{R}^{4c'}$ taken together with R^{4e} , $\text{R}^{4e'}$, R^{4f} , or $\text{R}^{4f'}$ forms a bond, a methylene bridge or an ethylene bridge;

Y is oxygen, sulfur, $-\text{C}(\text{O})-$, or $-\text{C}(\text{R}^{4d})(\text{R}^{4d'})-$, where R^{4d} and $\text{R}^{4d'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-$
20 $\text{C}_6)$ alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N}-\text{C}(\text{O})-$, (C_1-C_6) alkylamino-, di(C_1-C_4)alkylamino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl(C_1-C_4)alkylamino-, heteroaryl(C_1-C_4)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6
25 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and $\text{R}^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6
30 membered lactam ring, where said carbocyclic ring, said heterocyclic

ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

5 Y is $-NR^{4d''}$ -, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or
10 more substituents;

 Z is a bond, $-\text{CH}_2\text{CH}_2-$, or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6
15 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
20 or either R^{4e} or $\text{R}^{4e'}$ taken together with R^{4b} , $\text{R}^{4b'}$, R^{4c} , or $\text{R}^{4c'}$

 forms a bond, a methylene bridge or an ethylene bridge; and
 R^{4f} and $\text{R}^{4f'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group
25 consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle,
30 and a 3-6 membered partially or fully saturated carbocyclic ring,

where said moiety is optionally substituted with one or more substituents,

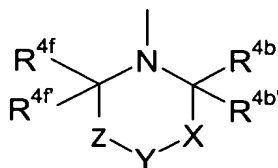
or either R^{4f} or $R^{4f'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge; or

5 (ii) $-O-R^5$, where R^5 taken together with R^3 forms a 5- to 6-membered partially saturated heterocyclic ring optionally containing an additional oxygen, or a 5-membered heteroaryl, said heterocyclic ring and said heteroaryl being optionally substituted with one or more substituents;

10 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

2. The compound of Claim 1 wherein R^4 is a group having

15 Formula (IA)



IA

where,

R^{4b} and $R^{4b'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl- $O-C(O)-$, (C_1-C_4) alkyl- $NH-C(O)-$, (C_1-C_4) alkyl) $_2N-C(O)-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

25 or R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, $-CH_2CH_2-$ or $-C(R^{4c})(R^{4c'})-$, where R^{4c} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the

group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6

5 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4c} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge, and

10 R^{4c'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

15 or R^{4c'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, -C(O)-, or -C(R^{4d})(R^{4d'})-, where R^{4d} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

25 R^{4d'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

30

or R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and
 5 said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is -NR^{4d''}-, where R^{4d''} is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-
 10 C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, -CH₂CH₂-, or -C(R^{4e})(R^{4e'})-, where R^{4e} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the
 15 group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully
 20 saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge, and

R^{4e'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the
 25 group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a
 30 methylene bridge, or an ethylene bridge; and

R^{4f} and $R^{4f'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4f} or $R^{4f'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

3. The compound of Claim 2 wherein

R^0 and R^1 are each independently a substituted phenyl;

R^{4b} is hydrogen, an optionally substituted (C_1-C_3) alkyl, or taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

$R^{4b'}$ is hydrogen, an optionally substituted (C_1-C_3) alkyl, or taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, an optionally substituted (C_1-C_3) alkyl, or taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge; and

$R^{4f'}$ is hydrogen, an optionally substituted (C_1-C_3) alkyl, or taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

4. The compound of Claim 3 wherein

X is $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from (C_1-C_6) alkyl, (C_1-C_4) alkyl-NH-C(O)-, or $((C_1-C_4)alkyl)_2N-C(O)-$, where said moiety is optionally substituted with one or more substituents,

- 5 or either R^{4c} or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge or an ethylene bridge;

Y is $-NR^{4d''}-$, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_3-C_6) cycloalkyl, (C_1-C_3) alkylsulfonyl, (C_1-C_3) alkylaminosulfonyl, di (C_1-C_3) alkylaminosulfonyl, acyl,
10 (C_1-C_6) alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from (C_1-C_6) alkyl, (C_1-C_4) alkyl-NH-C(O)-, or $((C_1-C_4)alkyl)_2N-C(O)-$, where said moiety is optionally
15 substituted with one or more substituents,

or either R^{4e} or $R^{4e'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20

5. The compound of Claim 4 wherein $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C_1-C_3) alkylsulfonyl, (C_1-C_3) alkylaminosulfonyl, di (C_1-C_3) alkylaminosulfonyl, acyl, (C_1-C_6) alkyl-O-C(O)-, and heteroaryl, where said moiety is optionally substituted with one or
25 more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

6. The compound of Claim 5 wherein $R^{4d''}$ is a hydrogen or a
30 chemical moiety selected from the group consisting of (C_1-C_3) alkylsulfonyl,

(C₁-C₃)alkylaminosulfonyl, di(C₁-C₃)alkylaminosulfonyl, acyl, and (C₁-C₆)alkyl-O-C(O)-, where said moiety is optionally substituted with 1-3 fluorines,

5 or R^{4d} is a heteroaryl, where said heteroaryl is optionally substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₃)alkoxy, (C₁-C₃)alkyl, and fluoro-substituted (C₁-C₃)alkyl; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10 7. The compound of Claim 4, 5 or 6 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 8. The compound of Claim 7 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20 9. The compound of Claim 8 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10. The compound of Claim 9 selected from the group consisting of

3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methyl-7-(4-methylpiperazin-1-yl)-pyrazolo[1,5-a]pyrimidine;

5 3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methyl-7-(4-pyrimidin-2-yl-piperazin-1-yl)-pyrazolo[1,5-a]pyrimidine;

3-(4-chloro-phenyl)-2-(2-chlorophenyl)-7-[(1S,4S)-5-methanesulfonyl-2,5-diazabicyclo[2.2.1]hept-2-yl]-5-methylpyrazolo[1,5-a]pyrimidine;

10 3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methyl-7-[4-(propane-2-sulfonyl)-piperazin-1-yl]-pyrazolo[1,5-a]pyrimidine;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(4-ethanesulfonyl-piperazin-1-yl)-5-methylpyrazolo[1,5-a]pyrimidine;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(4-methanesulfonylpiperazin-1-yl)-5-methylpyrazolo[1,5-a]pyrimidine;

15 1-{4-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-piperazin-1-yl}-ethanone;

4-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-piperazine-1-carboxylic acid tert-butyl ester;

20 3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methyl-7-[(1S,4S)-5-(propane-2-sulfonyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]-pyrazolo[1,5-a]pyrimidine;

1-{(1S,4S)-5-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-2,5-diazabicyclo[2.2.1]hept-2-yl}-ethanone; and

25 (1S,4S)-5-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-2,5-diazabicyclo[2.2.1]heptane-2-carboxylic acid tert-butyl ester

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

11. The compound of Claim 3 wherein Y is $-C(R^{4d})(R^{4d'})-$, where R^{4d} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-,
5 (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

10 $R^{4d'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted,

15 or R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and
20 said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25 12. The compound of Claim 11 wherein

R^{4b} , $R^{4b'}$, R^{4f} , and $R^{4f'}$ are all hydrogen;

R^{4d} is amino, (C_1-C_6) alkylamino, di (C_1-C_4) alkylamino, (C_3-C_6) cycloalkylamino, acylamino, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-; and

$R^{4d'}$ is (C₁-C₆)alkyl, H₂NC(O)-, (C₁-C₄)alkyl-NH-C(O)-, or ((C₁-C₄)alkyl)₂N-C(O)-, or aryl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

13. The compound of Claim 12 wherein

X is a bond or -C(R^{4c})(R^{4c'})-, where R^{4c} and R^{4c'} are each hydrogen;

and

Z is a bond or -C(R^{4e})(R^{4e'})-, where R^{4e} and R^{4e'} are each hydrogen;

10

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

14. The compound of Claim 13 wherein R^{4d} is amino, (C₁-C₆)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkylamino; and

15

R^{4d'} is H₂NC(O)-, (C₁-C₄)alkyl-NH-C(O)-, or ((C₁-C₄)alkyl)₂N-C(O)-;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15. The compound of Claim 11, 12, 13 or 14 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;

20

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

16. The compound of Claim 15 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

17. The compound of Claim 16 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

18. The compound of Claim 17 selected from the group consisting of

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;

- 15 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

- 20 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-3-methylaminoazetidine-3-carboxylic acid amide;

- 25 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-methylaminoazetidine-3-carboxylic acid amide; and

- 30 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

19. The compound of Claim 18 selected from the group consisting
5 of

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

10 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide; and

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-3-methylaminoazetidine-3-carboxylic acid amide;

15 a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

20. The compound of Claim 11 wherein

R^{4b}, R^{4b'}, R^{4f}, and R^{4f'} are all hydrogen;

20 R^{4d} is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₆)alkylamino-, and di(C₁-C₄)alkylamino-, where said moiety is optionally substituted with one or more substituents; and

25 R^{4d'} is hydrogen, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, aryl and heteroaryl, where said moiety is optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

21. The compound of Claim 20 wherein

X is a bond or $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond, a methylene bridge or an ethylene bridge; and

5 Z is a bond or $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4e} or $R^{4e'}$ taken together with R^{4c} or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

22. The compound of Claim 21 wherein

R^{4c} and $R^{4c'}$ are each hydrogen or either R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond;

15 R^{4d} is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C_1-C_6) alkoxy, acyl, (C_1-C_6) alkylamino-, and di (C_1-C_4) alkylamino-;

20 $R^{4d'}$ is hydrogen, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl and aryl, where said moiety is optionally substituted with one or more substituents; and

R^{4e} and $R^{4e'}$ are hydrogen or either R^{4e} or $R^{4e'}$ taken together with R^{4c} or $R^{4c'}$ forms a bond;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

23. The compound of Claim 20, 21, or 22 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

24. The compound of Claim 23 wherein R^0 and R^1 are each
5 independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl), and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

25. The compound of Claim 24 wherein R^0 is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R^1 is 4-chlorophenyl or 4-fluorophenyl;

- 15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

26. The compound of Claim 25 selected from the group consisting of

20 1-{1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-phenylpiperidin-4-yl}-ethanone;

3-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(1a,5a,6a)-azabicyclo[3.1.0]hex-6-ylamine;

25 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(4-fluorophenyl)-piperidin-4-ol; and

4-benzyl-1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-piperidin-4-ol;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30

27. The compound of Claim 11 wherein
R^{4b}, R^{4b'}, R^{4f}, and R^{4f'} are all hydrogen; and
R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully
saturated carbocyclic ring, a 3-6 membered partially or fully saturated
5 heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam
ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and
said lactam ring are optionally substituted with one or more substituents and
said lactone ring or said lactam ring optionally contains an additional
heteroatom selected from oxygen, nitrogen or sulfur;
10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

28. The compound of Claim 27 wherein
X is a bond, -CH₂CH₂- or -C(R^{4c})(R^{4c'})-, where R^{4c} and R^{4c'} are each
15 independently hydrogen or an optionally substituted (C₁-C₆)alkyl, or either
R^{4c} or R^{4c'} taken together with R^{4e} or R^{4e'} forms a bond, a methylene bridge
or an ethylene bridge; and
Z is a bond, -CH₂CH₂- or -C(R^{4e})(R^{4e'})-, where R^{4e} and R^{4e'} are each
independently hydrogen or an optionally substituted (C₁-C₆)alkyl, or either
20 R^{4e} or R^{4e'} taken together with R^{4c} or R^{4c'} forms a bond, a methylene bridge
or an ethylene bridge;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

- 25 29. The compound of Claim 27 wherein R^{4d} and R^{4d'} taken
together form a 5-6 membered lactam ring, where said lactam ring is
optionally substituted with one or more substituents and optionally contains
an additional heteroatom selected from nitrogen or oxygen;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
30 said compound or said salt.

30. The compound of Claim 29 wherein
X is a bond or $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each hydrogen;
and

5 Z is a bond or $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each hydrogen;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

31. The compound of Claim 27, 28, 29 or 30 wherein R^0 and R^1
10 are each independently a phenyl substituted with 1 to 3 substituents
independently selected from the group consisting of halo, (C_1-C_4) alkoxy, $(C_1-$
 $C_4)$ alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

15 32. The compound of Claim 31 wherein R^0 and R^1 are each
independently a phenyl substituted with 1 to 2 substituents independently
selected from the group consisting of chloro, fluoro, (C_1-C_4) alkoxy, $(C_1-$
 $C_4)$ alkyl, fluoro-substituted (C_1-C_4) alkyl, and cyano;
20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

33. The compound of Claim 32 wherein R^0 is 2-chlorophenyl, 2-
fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-
25 fluorophenyl, or 2,4-difluorophenyl; and R^1 is 4-chlorophenyl or 4-
fluorophenyl;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

34. The compound of Claim 33 selected from the group consisting of

8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one; and

2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-methyl-2,5,7-triazaspiro[3.4]octan-8-one;

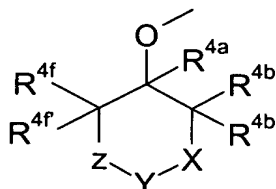
a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

35. The compound of Claim 34 which is

8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidin-7-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

36. The compound of Claim 1 wherein R^4 is a group of Formula (IB)



IB

where R^{4a} is as defined in Claim 1;

R^{4b} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a

partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

$R^{4b'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, acyl, $(C_1-C_3)alkyl-O-C(O)-$, $(C_1-C_4)alkyl-NH-C(O)-$, $(C_1-C_4)alkyl)_2N-C(O)-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, $-CH_2CH_2-$ or $-C(R^{4c})(R^{4c'})-$, where R^{4c} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, $(C_1-C_6)alkoxy$, acyloxy, acyl, $(C_1-C_3)alkyl-O-C(O)-$, $(C_1-C_4)alkyl-NH-C(O)-$, $(C_1-C_4)alkyl)_2N-C(O)-$, $(C_1-C_6)alkylamino-$, $((C_1-C_4)alkyl)_2amino-$, $(C_3-C_6)cycloalkylamino-$, acylamino-, aryl($C_1-C_4)alkylamino-$, heteroaryl($C_1-C_4)alkylamino-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4c} taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4c'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, acyl, $(C_1-C_3)alkyl-O-C(O)-$, $(C_1-C_4)alkyl-NH-C(O)-$, $(C_1-C_4)alkyl)_2N-C(O)-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, $-C(O)-$, or $-C(R^{4d})(R^{4d'})-$, where R^{4d} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, $(C_1-C_6)alkoxy$, acyloxy, acyl, $(C_1-C_3)alkyl-O-$

C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

R^{4d'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, or R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

Y is -NR^{4d''}-, where R^{4d''} is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, -CH₂CH₂-, or -C(R^{4e})(R^{4e'})-, where R^{4e} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocyclic ring, and a partially or fully

saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge, and

5 R^{4e'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

10 or R^{4e'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents; and

20 R^{4f} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

25 or R^{4f} or R^{4f} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30 37. The compound of Claim 36 wherein

R^0 and R^1 are each independently a substituted phenyl;

R^{4a} , R^{4b} , $R^{4b'}$, R^{4f} and $R^{4f'}$ are each hydrogen;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

38. The compound of Claim 37 wherein

X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or $(\text{C}_1\text{-C}_6)$ alkyl;

Y is $-\text{NR}^{4d''}-$, where $\text{R}^{4d''}$ is hydrogen or a chemical moiety selected from the group consisting of $(\text{C}_1\text{-C}_6)$ alkyl, $(\text{C}_3\text{-C}_6)$ cycloalkyl, $(\text{C}_1\text{-C}_3)$ alkylsulfonyl-, $(\text{C}_1\text{-C}_3)$ alkylaminosulfonyl-, di $(\text{C}_1\text{-C}_3)$ alkylaminosulfonyl-, acyl, $(\text{C}_1\text{-C}_6)$ alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or $(\text{C}_1\text{-C}_6)$ alkyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

39. The compound of Claim 37 or 38 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, $(\text{C}_1\text{-C}_4)$ alkoxy, $(\text{C}_1\text{-C}_4)$ alkyl, halo-substituted $(\text{C}_1\text{-C}_4)$ alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

40. The compound of Claim 39 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, $(\text{C}_1\text{-C}_4)$ alkoxy, $(\text{C}_1\text{-C}_4)$ alkyl, fluoro-substituted $(\text{C}_1\text{-C}_4)$ alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

41. The compound of Claim 40 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

42. The compound of Claim 41 selected from the group consisting of

7-(1-benzylpyrrolidin-3-yloxy)-3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidine;

- 15 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(1-cyclohexylazetidin-3-yloxy)-5-methylpyrazolo[1,5-a]pyrimidine; and

7-(1-tert-butylazetidin-3-yloxy)-3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidine;

- 20 a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

43. The compound of Claim 1 wherein R⁴ is -O-R⁵, where R⁵ taken together with R³ forms a 5- to 6-membered partially saturated heterocyclic ring or a 5- to 6-membered heteroaryl, said heterocyclic ring and said heteroaryl
25 optionally containing an additional oxygen and being optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

44. The compound of Claim 43 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

45. The compound of Claim 44 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano;

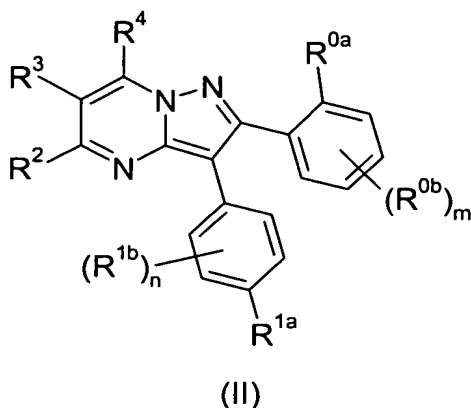
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 46. The compound of Claim 45 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

47. The compound of Claim 46 which is 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-iodomethyl-6,7-dihydro-8-oxa-1,4,8b-triaza-as-indacene.

25 48. A compound of Formula (II)



wherein

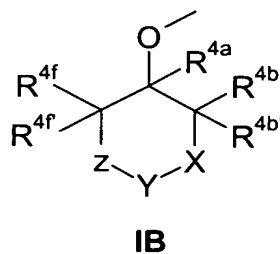
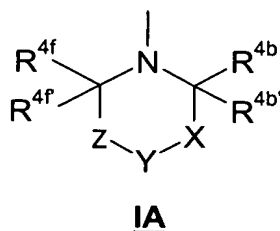
R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each independently halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or cyano;

n and m are each independently 0, 1 or 2;

R^2 and R^3 are each independently hydrogen, halo, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

R^4 is

(i) a group having Formula (IA) or Formula (IB)



where R^{4a} is hydrogen or (C₁-C₃)alkyl;

R^{4b} and $R^{4b'}$ are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle,

and a partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

or either R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

5 X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1\text{-C}_6)\text{alkyl}$, $(\text{C}_1\text{-C}_6)\text{alkoxy}$, acyloxy , acyl , $(\text{C}_1\text{-C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1\text{-C}_4)\text{alkyl-NH-C}(\text{O})-$, $((\text{C}_1\text{-C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1\text{-C}_6)\text{alkylamino-}$, $\text{di}(\text{C}_1\text{-C}_4)\text{alkylamino-}$, $(\text{C}_3\text{-C}_6)\text{cycloalkylamino-}$, acylamino- , $\text{aryl}(\text{C}_1\text{-C}_4)\text{alkylamino-}$, $\text{heteroaryl}(\text{C}_1\text{-C}_4)\text{alkylamino-}$, aryl , heteroaryl , a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

15 or either R^{4c} or $\text{R}^{4c'}$ taken together with R^{4e} , $\text{R}^{4e'}$, R^{4f} , or $\text{R}^{4f'}$ forms a bond, a methylene bridge or an ethylene bridge;

Y is oxygen, sulfur, $-\text{C}(\text{O})-$, or $-\text{C}(\text{R}^{4d})(\text{R}^{4d'})-$, where R^{4d} and $\text{R}^{4d'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1\text{-C}_6)\text{alkyl}$, $(\text{C}_1\text{-C}_6)\text{alkoxy}$, acyloxy , acyl , $(\text{C}_1\text{-C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1\text{-C}_4)\text{alkyl-NH-C}(\text{O})-$, $((\text{C}_1\text{-C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1\text{-C}_6)\text{alkylamino-}$, $\text{di}(\text{C}_1\text{-C}_4)\text{alkylamino-}$, $(\text{C}_3\text{-C}_6)\text{cycloalkylamino-}$, acylamino- , $\text{aryl}(\text{C}_1\text{-C}_4)\text{alkylamino-}$, $\text{heteroaryl}(\text{C}_1\text{-C}_4)\text{alkylamino-}$, aryl , heteroaryl , a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

25 or R^{4d} and $\text{R}^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic

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ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

5 Y is $-NR^{4d''}$ -, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where the moiety is optionally substituted with one or more substituents;

10 Z is a bond, $-\text{CH}_2\text{CH}_2-$, or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocyclic ring, and a 3-6 membered partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

20 or either R^{4e} or $\text{R}^{4e'}$ taken together with R^{4b} , $\text{R}^{4b'}$, R^{4c} , or $\text{R}^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge; and

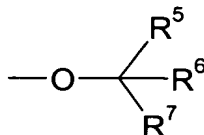
25 R^{4f} and $\text{R}^{4f'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring,

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where the moiety is optionally substituted with one or more substituents,

or either R^{4f} or $R^{4f'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

5 (ii) a group having Formula (IC)



IC

10 where R^5 and R^6 are each independently hydrogen or (C₁-C₄)alkyl, and R^7 is an optionally substituted (C₁-C₄)alkyl-, or an optionally substituted 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

15 or R^5 and R^6 or R^5 and R^7 taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents,

20 or R^5 , R^6 or R^7 taken together with R^3 forms a 5- to 6-membered partially saturated heterocyclic ring or a 5- to 6-membered heteroaryl, where said heterocyclic ring and said heteroaryl optionally contain an additional oxygen and are optionally substituted with one or more substituents;

25 (iii) an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C₁-C₈)alkyl, aryl(C₁-C₄)alkyl, a 3-8 membered partially or fully saturated carbocyclic ring, hydroxy(C₁-C₆)alkyl, (C₁-C₃)alkoxy(C₁-C₆)alkyl, heteroaryl(C₁-C₃)alkyl, and a fully or partially saturated heterocycle,

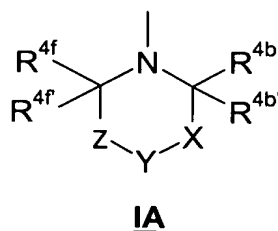
where said chemical moiety is optionally substituted with one or more substituents;

(iv) an (C₁-C₆)alkyl group having attached thereto at least one chemical moiety selected from the group consisting of hydroxy, (C₁-C₆)alkoxy, amino, (C₁-C₆)alkylamino, di((C₁-C₆)alkyl)amino (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylsulfamyl, di((C₁-C₃)alkyl)sulfamyl, acyloxy, a fully or partially saturated heterocycle, and a fully or partially saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents; or

(v) an optionally substituted aryl or optionally substituted heteroaryl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of the compound or the salt.

49. The compound of Claim 48 wherein R⁴ is a group of Formula (IA);



where,

R^{4b} and R^{4b'} are each independently hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4b} or R^{4b'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} is hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_1-\text{C}_6)\text{alkoxy}$, acyloxy , acyl , $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1-\text{C}_6)\text{alkylamino-}$,
5 $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{amino-}$, $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$, acylamino- , $\text{aryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, $\text{heteroaryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, aryl , heteroaryl , a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

10 or R^{4c} taken together with R^{4e} , $\text{R}^{4e'}$, R^{4f} , or $\text{R}^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$\text{R}^{4c'}$ is hydrogen, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, acyl , $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, aryl , heteroaryl , a 3-6 membered partially or
15 fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or $\text{R}^{4c'}$ taken together with R^{4e} , $\text{R}^{4e'}$, R^{4f} , or $\text{R}^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, $-\text{C}(\text{O})-$, or $-\text{C}(\text{R}^{4d})(\text{R}^{4d'})-$, where R^{4d} is hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_1-\text{C}_6)\text{alkoxy}$, acyloxy , acyl , $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1-\text{C}_6)\text{alkylamino-}$,
20 $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{amino-}$, $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$, acylamino- , $\text{aryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, $\text{heteroaryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, aryl , heteroaryl , a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

$\text{R}^{4d'}$ is hydrogen, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, acyl , $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, aryl , heteroaryl , a 3-6 membered partially or
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fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is $-NR^{4d''}$ -, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, $-CH_2CH_2-$, or $-C(R^{4e})(R^{4e'})-$, where R^{4e} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e} taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4e'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge; and

R^{4f} and R^{4f'} are each independently hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4f} or R^{4f'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

50. The compound of Claim of 49 wherein

R^{4b} is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

R^{4b'} is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge; and

R^{4f'} is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

51. The compound of Claim 50 wherein

X is $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from $(C_1-C_6)alkyl$, $(C_1-C_4)alkyl-NH-C(O)-$, or $((C_1-C_4)alkyl)_2N-C(O)-$, where said moiety is optionally substituted with one or more substituents,

5 or either R^{4c} or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge or an ethylene bridge;

Y is $-NR^{4d''}-$, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, $(C_3-C_6)cycloalkyl$, $(C_1-C_3)alkylsulfonyl$, $(C_1-C_3)alkylaminosulfonyl$, $di(C_1-C_3)alkylaminosulfonyl$, acyl, 10 $(C_1-C_6)alkyl-O-C(O)-$, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from $(C_1-C_6)alkyl$, $(C_1-C_4)alkyl-NH-C(O)-$, or $((C_1-C_4)alkyl)_2N-C(O)-$, where said moiety is optionally 15 substituted with one or more substituents,

or either R^{4e} or $R^{4e'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20

52. The compound of Claim 51 wherein $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of $(C_1-C_3)alkylsulfonyl$, $(C_1-C_3)alkylaminosulfonyl$, $di(C_1-C_3)alkylaminosulfonyl$, acyl, $(C_1-C_6)alkyl-O-C(O)-$, and heteroaryl, where said moiety is optionally substituted with one or 25 more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

53. The compound of Claim 52 wherein $R^{4d''}$ is a hydrogen or a 30 chemical moiety selected from the group consisting of $(C_1-C_3)alkylsulfonyl$,

(C₁-C₃)alkylaminosulfonyl, di(C₁-C₃)alkylaminosulfonyl, acyl, and (C₁-C₆)alkyl-O-C(O)-, where said moiety is optionally substituted with 1-3 fluorines,

5 or R^{4d} is a heteroaryl, where said heteroaryl is optionally substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₃)alkoxy, (C₁-C₃)alkyl, and fluoro-substituted (C₁-C₃)alkyl; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10 54. The compound of Claim 51, 52, or 53 wherein R^{0a}, R^{0a}, R^{1a} and R^{1b} are each independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 55. The compound of Claim 54 wherein R^{0a}, R^{0a}, R^{1a} and R^{1b} are each independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano; and n and m are each independently 0 or 1;

20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25 56. The compound of Claim 50 wherein Y is -C(R^{4d})(R^{4d'})-, where R^{4d} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a

partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

$R^{4d'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl- $O-C(O)-$, (C_1-C_4) alkyl-NH-
5 $C(O)-$, (C_1-C_4) alkyl) $_2N-C(O)-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated
10 heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

57. The compound of Claim 56 wherein

R^{4b} , $R^{4b'}$, R^{4f} , and $R^{4f'}$ are all hydrogen;

20 R^{4d} is amino, (C_1-C_6) alkylamino, di (C_1-C_4) alkylamino, (C_3-C_6) cycloalkylamino, acylamino, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-; and

$R^{4d'}$ is (C_1-C_6) alkyl, $H_2NC(O)-$, (C_1-C_4) alkyl-NH- $C(O)-$, or $((C_1-C_4)$ alkyl) $_2N-C(O)-$, or aryl;

25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

58. The compound of Claim 57 wherein

X is a bond or $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each hydrogen;

30 and

Z is a bond or $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each hydrogen;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

5 59. The compound of Claim 58 wherein R^{4d} is amino, $(C_1-$
 $C_6)$ alkylamino, di (C_1-C_4) alkylamino, (C_3-C_6) cycloalkylamino; and
 $R^{4d'}$ is $H_2NC(O)-$, (C_1-C_4) alkyl-NH-C(O)-, or $((C_1-C_4)alkyl)_2N-C(O)-$;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

10

60. The compound of Claim 56, 57, 58 or 59 wherein R^{0a} , R^{0b} , R^{1a} ,
and R^{1b} are each independently selected from the group consisting of halo,
 (C_1-C_4) alkoxy, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
15 said compound or said salt.

61. The compound of Claim 60 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are
each independently selected from the group consisting of chloro, fluoro, $(C_1-$
 $C_4)$ alkoxy, (C_1-C_4) alkyl, fluoro-substituted (C_1-C_4) alkyl, and cyano; and
20 n and m are each independently selected from 0 or 1;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of
said compound or said salt.

62. The compound of Claim 56 wherein
25 R^{4b} , $R^{4b'}$, R^{4f} , and $R^{4f'}$ are all hydrogen;
 R^{4d} is hydrogen, hydroxy, amino, or a chemical moiety selected from
the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, $(C_1-$
 $C_3)$ alkyl-O-C(O)-, (C_1-C_6) alkylamino-, and di (C_1-C_4) alkylamino-, where said
moiety is optionally substituted with one or more substituents; and

$R^{4d'}$ is hydrogen, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, aryl and heteroaryl, where said moiety is optionally substituted with one or more substituents;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

63. The compound of Claim 62 wherein

X is a bond or -C(R^{4c})($R^{4c'}$)-; where R^{4c} and $R^{4c'}$ are each independently hydrogen or an optionally substituted (C₁-C₆)alkyl, or either
10 R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond, a methylene bridge or an ethylene bridge; and

Z is a bond or -C(R^{4e})($R^{4e'}$)-, where R^{4e} and $R^{4e'}$ are each independently hydrogen or an optionally substituted (C₁-C₆)alkyl, or either
15 R^{4e} or $R^{4e'}$ taken together with R^{4c} or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

64. The compound of Claim 63 wherein

20 R^{4c} and $R^{4c'}$ are each hydrogen or either R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond;

R^{4d} is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C₁-C₆)alkoxy, acyl, (C₁-C₆)alkylamino-, and di(C₁-C₄)alkylamino-;

25 $R^{4d'}$ is hydrogen, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl and aryl, where said moiety is optionally substituted with one or more substituents; and

R^{4e} and $R^{4e'}$ are hydrogen or either R^{4e} or $R^{4e'}$ taken together with R^{4c} or $R^{4c'}$ forms a bond;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

65. The compound of Claim 62, 63, or 64 wherein R^{0a} , R^{0b} , R^{1a} ,
5 and R^{1b} are each independently selected from the group consisting of halo, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10 66. The compound of Claim 65 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each independently selected from the group consisting of chloro, fluoro, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, fluoro-substituted (C_1-C_4) alkyl, and cyano; and

n and m are each independently 0 or 1;

15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

67. The compound of Claim 56 wherein

R^{4b} , $R^{4b'}$, R^{4f} , and $R^{4f'}$ are all hydrogen; and

20 R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring or said lactam ring optionally contains an additional
25 heteroatom selected from oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

68. The compound of Claim 67 wherein

X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4c} or $\text{R}^{4c'}$ taken together with R^{4e} or $\text{R}^{4e'}$ forms a bond, a methylene bridge or an ethylene bridge; and

5 Z is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4e} or $\text{R}^{4e'}$ taken together with R^{4c} or $\text{R}^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

69. The compound of Claim 68 wherein R^{4d} and $\text{R}^{4d'}$ taken together form a 5-6 membered lactam ring, where said lactam ring is optionally substituted with one or more substituents and optionally contains
15 an additional heteroatom selected from nitrogen or oxygen;

 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

70. The compound of Claim 69 wherein
20 X is a bond or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each hydrogen;
 and

 Z is a bond or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each hydrogen;

 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

71. The compound of Claim 67, 68, 69 or 70 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each independently selected from the group consisting of halo, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;

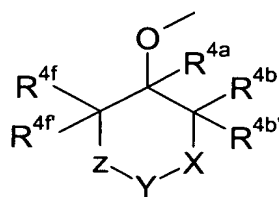
30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

72. The compound of Claim 71 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano;

5 n and m are each independently 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

73. The compound of Claim 48 wherein R^4 is a group of Formula
10 (IB);



IB

where R^{4a} is as defined in Claim 43;

R^{4b} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

$R^{4b'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4b} or R^{4b'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, -CH₂CH₂- or -C(R^{4c})(R^{4c'})-, where R^{4c} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4c} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge, and

R^{4c'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4c'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, -C(O)-, or -C(R^{4d})(R^{4d'})-, where R^{4d} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

$R^{4d'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

Y is $-NR^{4d''}-$, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_3-C_6) cycloalkyl, (C_1-C_3) alkylsulfonyl-, (C_1-C_3) alkylaminosulfonyl-, di (C_1-C_3) alkylaminosulfonyl-, acyl, (C_1-C_6) alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, $-CH_2CH_2-$, or $-C(R^{4e})(R^{4e'})-$, where R^{4e} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e} taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4e'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-

C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

5 or R^{4e'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-,
10 acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents; and

R^{4f} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the
15 group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

20 or R^{4f} or R^{4f'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

74. The compound of Claim 73 wherein
25 R^{4a}, R^{4b}, R^{4b'}, R^{4f} and R^{4f'} are each hydrogen;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

75. The compound of Claim 74 wherein

X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or $(\text{C}_1\text{-C}_6)$ alkyl;

Y is $-\text{NR}^{4d''}-$, where $\text{R}^{4d''}$ is hydrogen or a chemical moiety selected from the group consisting of $(\text{C}_1\text{-C}_6)$ alkyl, $(\text{C}_3\text{-C}_6)$ cycloalkyl, $(\text{C}_1\text{-C}_3)$ alkylsulfonyl-, $(\text{C}_1\text{-C}_3)$ alkylaminosulfonyl-, di $(\text{C}_1\text{-C}_3)$ alkylaminosulfonyl-, acyl, $(\text{C}_1\text{-C}_6)$ alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

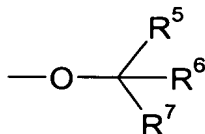
Z is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or $(\text{C}_1\text{-C}_6)$ alkyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

76. The compound of Claim 74 or 75 wherein R^{0a} , R^{0b} , R^{1a} and R^{1b} are each independently selected from the group consisting of halo, $(\text{C}_1\text{-C}_4)$ alkoxy, $(\text{C}_1\text{-C}_4)$ alkyl, halo-substituted $(\text{C}_1\text{-C}_4)$ alkyl, and cyano; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

77. The compound of Claim 76 wherein R^{0a} , R^{0b} , R^{1a} and R^{1b} are each independently selected from the group consisting of chloro, fluoro, $(\text{C}_1\text{-C}_4)$ alkoxy, $(\text{C}_1\text{-C}_4)$ alkyl, fluoro-substituted $(\text{C}_1\text{-C}_4)$ alkyl, and cyano; and n and m are each independently 0 or 1; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

78. The compound of Claim 48 wherein R^4 is a group having Formula (IC)



IC

where R⁵ and R⁶ are each independently hydrogen or (C₁-C₄)alkyl, and R⁷ is (C₁-C₄)alkyl-, halo-substituted (C₁-C₄)alkyl-, (C₁-C₄)alkoxy(C₁-C₄)alkyl-, (C₁-C₄)alkylamino(C₁-C₄)alkyl-, di(C₁-C₄)alkylamino(C₁-C₄)alkyl-, or
5 a 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,
or R⁵ and R⁶, or R⁵ and R⁷ taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated
heterocycle containing 1 to 2 heteroatoms independently selected from
10 oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents,
or R⁵, R⁶ or R⁷ taken together with R³ forms a 5- to 6-membered partially saturated heterocyclic ring or a 5- to 6-membered heteroaryl, where
said heterocyclic ring and said heteroaryl optionally contain an additional
15 oxygen and are optionally substituted with one or more substituents;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

79. The compound of Claim 78 wherein n and m are each
20 independently 1 or 0;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

80. The compound of Claim 79 wherein R⁵ and R⁶ are each
25 independently hydrogen or (C₁-C₄)alkyl, and R⁷ is (C₁-C₄)alkyl;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

81. The compound of Claim 79 wherein R⁵, R⁶ or R⁷ taken together
30 with R³ forms a 5- to 6-membered partially saturated heterocyclic ring or a 5-

to 6-membered heteroaryl, where said heterocyclic ring and said heteroaryl optionally contain an additional oxygen and are optionally substituted with one or more substituents;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

82. The compound of Claim 79, 80 or 81 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each independently chloro, fluoro or trifluoromethyl;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

83. The compound of Claim 81 selected from the group consisting of

15 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-isopropoxy-5-methylpyrazolo[1,5-a]pyrimidine;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-ethoxypyrazolo[1,5-a]pyrimidine;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(2,2,2-trifluoroethoxy)-pyrazolo[1,5-a]pyrimidine; and

20 7-allyloxy-3-(4-chlorophenyl)-2-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidine;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

25 84. The compound of Claim 48 wherein R^4 is an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C_1-C_8) alkyl, aryl (C_1-C_4) alkyl, a 3-8 membered partially or fully saturated carbocyclic ring, hydroxy (C_1-C_6) alkyl, (C_1-C_3) alkoxy (C_1-C_6) alkyl, heteroaryl (C_1-C_3) alkyl, and a partially or fully saturated heterocycle,

where said chemical moiety is optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

85. The compound of Claim 84 wherein n and m are each independently 1 or 0;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

86. The compound of Claim 84 or 85 wherein R^{0a}, R^{0b}, R^{1a}, and R^{1b} are each independently chloro, fluoro or trifluoromethyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15

87. The compound of Claim 86 selected from the group consisting of

butyl-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-amine;

20 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-(2-morpholin-4-yl-ethyl)-amine;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-(2-methoxyethyl)-amine; and

25 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-[2-(4-fluorophenyl)-ethyl]-amine;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

88. The compound of Claim 48 wherein R⁴ is an (C₁-C₆)alkyl group
30 having attached thereto at least one chemical moiety selected from the

group consisting of hydroxy, (C₁-C₆)alkoxy, amino, (C₁-C₆)alkylamino, di((C₁-C₆)alkyl)amino (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylsulfamyl, di((C₁-C₃)alkyl)sulfamyl, acyloxy, a partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said chemical moiety is

5 optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

89. The compound of Claim 88 wherein n and m are each
10 independently 1 or 0;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

90. The compound of Claim 88 or 89 wherein R^{0a}, R^{0b}, R^{1a}, and
15 R^{1b} are each independently chloro, fluoro or trifluoromethyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

91. The compound of Claim 48 wherein R⁴ is an optionally
20 substituted aryl or optionally substituted heteroaryl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

92. The compound of Claim 91 wherein n and m are each
25 independently 1 or 0;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

93. The compound of Claim 91 or 92 wherein R^{0a}, R^{0b}, R^{1a}, and
30 R^{1b} are each independently chloro, fluoro or trifluoromethyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

94. The compound of Claim 91 which is 3,7-bis-(4-chlorophenyl)-2-
5 (2-chlorophenyl)-pyrazolo[1,5-a]pyrimidine.

95. A pharmaceutical composition comprising (1) a compound of any one of the preceding Claims, a pharmaceutically acceptable salt of said compound, or a solvate or hydrate of said compound or said salt; and (2) a
10 pharmaceutically acceptable excipient, diluent, or carrier.

96. The composition of Claim 95 further comprising at least one additional pharmaceutical agent.

15 97. The composition of Claim 96 wherein said additional pharmaceutical agent is a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

20 98. The composition of Claim 97 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine
25 agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a
30 glucocorticoid receptor antagonist, an orexin receptor antagonist, a

glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

5

99. A method for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment a therapeutically effective amount of a compound of Claim 1;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

100. The method of Claim 99 wherein said compound is a compound of any one of Claims 2 through 47, a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15

101. The method of Claim 99 wherein said compound is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

20

102. The method of Claim 100 wherein said compound is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

25

103. The method of Claim 101 or 102 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor,

30

a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

104. The method of Claim 99 or 100 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes.

105. The method of Claim 104 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, dementia, alcoholism, or tobacco abuse.

106. A method for treating a disease, condition or disorder modulated by a cannabinoid receptor antagonist comprising the step of administering a pharmaceutical composition of Claim 95.

107. The method of Claim 106 wherein said pharmaceutical composition further comprises an additional pharmaceutical agent.

108. The method of Claim 107 wherein said additional
5 pharmaceutical agent is a nicotine partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

109. The method of Claim 108 wherein said anti-obesity agent is
10 selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c}
15 receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a
20 glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

25 110. The method of Claim 106, 107, 108 or 109 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, dementia, alcoholism, or tobacco abuse.

111. A method for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment a therapeutically effective amount of a compound of Claim 48;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

112. The method of Claim 111 wherein said compound is a compound of any one of Claims 49 through 94, a pharmaceutically
10 acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

113. The method of Claim 111 wherein said compound is administered in combination with a nicotine partial agonist, an opioid
15 antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

114. The method of Claim 112 wherein said compound is administered in combination with a nicotine partial agonist, an opioid
20 antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

115. The method of Claim 113 or 114 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -
25 hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone antagonist, leptin, a
30 leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase

inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

116. The method of Claim 111 or 112 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes.

117. The method of Claim 116 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, dementia, alcoholism, or tobacco abuse.

118. A method for treating a disease, condition or disorder modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment two separate pharmaceutical compositions comprising

- (i) a first composition comprising a compound of Claim 1 or 48 and a pharmaceutically acceptable excipient, diluent, or carrier, and

- (ii) a second composition comprising at least one additional pharmaceutical agent and a pharmaceutically acceptable excipient, diluent, or carrier.

5 119. The method of Claim 118 wherein said at least one additional pharmaceutical agent is a nicotine partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

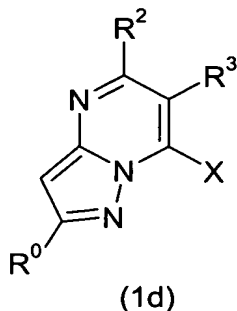
10 120. The method of Claim 119 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine
15 agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a
20 glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

25

 121. The method of Claim 118 wherein said first composition and said second composition are administered simultaneously.

 122. The method of Claim 118 wherein said first composition and
30 said second composition are administered sequentially and in any order.

123. A compound of Formula (1d)



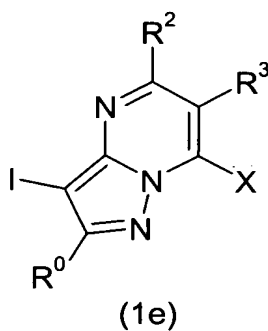
5 wherein

X is chlorine or bromine;

R⁰ is an optionally substituted aryl or an optionally substituted heteroaryl, provided that R⁰ is not phenyl, 3-chlorophenyl, or 3,4,5-trimethoxyphenyl; and

10 R² and R³ are each independently hydrogen, halo, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy.

124. A compound of Formula (1e)



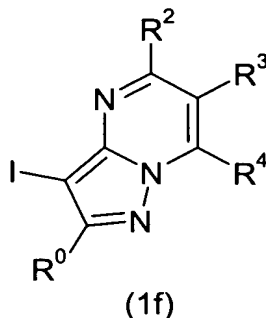
15 wherein

X is chloro or bromo;

R⁰ is an optionally substituted aryl or an optionally substituted heteroaryl; and

20 R² and R³ are each independently hydrogen, halo, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy.

125. A compound of Formula (1d)

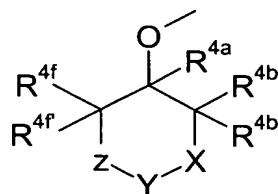
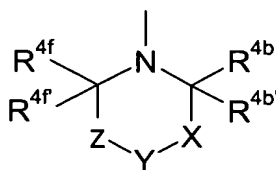


R^0 is an optionally substituted aryl or an optionally substituted
5 heteroaryl;

R^2 and R^3 are each independently hydrogen, halo, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, or (C_1-C_4) alkoxy; and

R^4 is

(i) a group having Formula (IA) or Formula (IB)



where R^{4a} is hydrogen or (C_1-C_3) alkyl;

R^{4b} and $R^{4b'}$ are each independently hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group
15 consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle,
20 and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4b} or R^{4b'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

5 X is a bond, -CH₂CH₂- or -C(R^{4c})(R^{4c'})-, where R^{4c} and R^{4c'} are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6
10 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4c} or R^{4c'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge or an ethylene bridge;

15 Y is oxygen, sulfur, -C(O)-, or -C(R^{4d})(R^{4d'})-, where R^{4d} and R^{4d'} are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6
20 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

25 or R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully saturated carbocyclic ring, 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted
30 with one or more substituents and said lactone ring and said lactam

ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is $-NR^{4d''}$ -, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, $-CH_2CH_2-$, or $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each independently hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

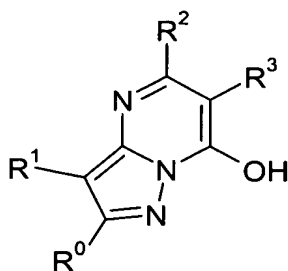
or either R^{4e} or $R^{4e'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge; and

R^{4f} and $R^{4f'}$ are each independently hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4f} or $R^{4f'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge; or

(ii) $-O-R^5$, where R^5 taken together with R^3 forms a 5- to 6-membered partially saturated heterocyclic ring optionally containing an additional oxygen, or a 5-membered heteroaryl, said heterocyclic ring and said heteroaryl being optionally substituted with one or more substituents.

126. A compound of Formula (4d)



(4d)

wherein

R^0 is an optionally substituted aryl or an optionally substituted heteroaryl;

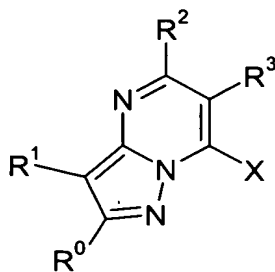
R^1 is an optionally substituted aryl or an optionally substituted heteroaryl; and

R^2 and R^3 are each independently hydrogen, halo, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, or (C_1-C_4) alkoxy;

provided that R^0 is not 4-methylsulfonylphenyl, 4-

aminosulfonylphenyl, or a 4-alkyl-substituted phenyl when R^1 is a 4-halo-substituted phenyl; and R^0 and R^1 are not both an unsubstituted phenyl.

127. A compound of Formula (4e)



(4e)

wherein

X is chloro or bromo;

5 R⁰ is an optionally substituted aryl or an optionally substituted heteroaryl;

R¹ is an optionally substituted aryl or an optionally substituted heteroaryl; and

10 R² and R³ are each independently hydrogen, halo, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

provided that R⁰ is not 4-methylsulfonylphenyl, 4-aminosulfonylphenyl, or a 4-alkyl-substituted phenyl when R¹ is a 4-halo-substituted phenyl; and R⁰ and R¹ are not both an unsubstituted phenyl.

15